

$$= \gamma$$

The chemical structure is 2,6-difluorophenyl-1H-imidazo[1,2-a]pyridine. It consists of a pyridine ring fused to an imidazole ring, which is further substituted with a 2,6-difluorophenyl group. The atoms are numbered as follows: the pyridine ring carbons are 1-6 (N1 at position 1), the imidazole ring carbons are 7-9 (N7 at position 7), and the phenyl ring carbons are 10-15 (N10 at position 10). The fluorine atoms are labeled 16 and 17. The numbering continues to 50 for the entire molecule, including the hydrogen atoms.

L1	STR
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*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:01:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 42 TO ITERATE

100.0% PROCESSED 42 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 452 TO 1228

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full exa

FULL SEARCH INITIATED 14:02:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 208 TO ITERATE

100.0% PROCESSED 208 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L3 2 SEA EXA FUL L1

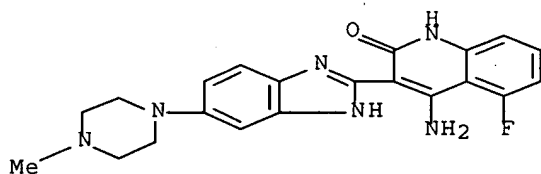
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L3 2 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI)

MF C21 H21 F N6 O

CI COM



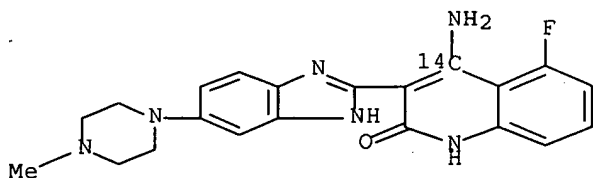
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 2 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN 2(1H)-Quinolinone-4-14C, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI)

MF C21 H21 F N6 O



ALL ANSWERS HAVE BEEN SCANNED

=> file caplus, medline, wpids, uspatfull

=> s 13

SAMPLE SEARCH INITIATED 14:02:34 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L4 29 L3

=> d 14 1-29 ibib, abs, hitstr

L4 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:763835 CAPLUS Full-text
DOCUMENT NUMBER: 145:202872
TITLE: Treatment of metastasized tumors
INVENTOR(S): Lopes De Menezes, Daniel; Heise, Carla; Xin, Xiaohua
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 101pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081445	A2	20060803	WO 2006-US2979	20060127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

US 2006183750 A1 20060817 US 2006-342257 20060127
PRIORITY APPLN. INFO.: US 2005-647568P P 20050127
US 2005-669245P P 20050406
US 2005-722053P P 20050929

OTHER SOURCE(S): MARPAT 145:202872

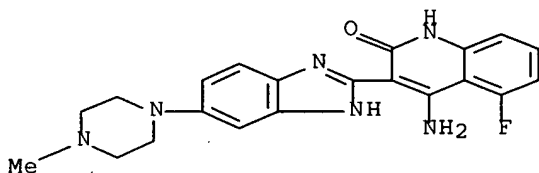
AB Methods of treating metastatic cancer such as metastasized tumors include administering a compound of Structure I, a tautomer of the compound, a pharmaceutically acceptable salt of the compound, a pharmaceutically acceptable salt or the tautomer, or a mixture thereof to a subject. The compound, tautomer, salt of the compound, salt of the tautomer, or mixture thereof may be used to prepare medicaments for treating metastatic cancer. The variable A has the values defined herein.

IT 405169-16-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(treatment of metastasized tumors)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:167710 CAPLUS Full-text

DOCUMENT NUMBER: 144:267266

TITLE: Flt3 inhibitors for immune suppression

INVENTOR(S): Small, Donald; Whartenby, Katherine A.; Pardoll, Drew

PATENT ASSIGNEE(S): The Johns Hopkins University, USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006020145	A2	20060223	WO 2005-US25318	20050714
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,			

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2004-589511P

P 20040719

OTHER SOURCE(S):

MARPAT 144:267266

AB New methods are provided for suppressing the immune system and for treating immune related disorders. Therapies of the invention include administration of an FLT3 inhibitor compound to a subject in need thereof, such as a subject suffering from organ rejection, bone marrow transplant rejection, acquired immune deficiency syndrome, arthritis, aplastic anemia, graft-vs.-host disease, Graves' disease, established exptl. allergic encephalomyelitis, multiple sclerosis, lupus, or a neurol. disorder. Methods are also provided for screening therapeutic agents for treating immune disorders, including the use of a mouse having an elevated level of FLT3 receptor activity.

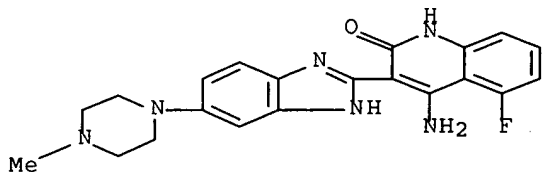
IT 405169-16-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Flt3 inhibitors for immune suppression by treating cells for therapy of immune or neurol. disorders)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1341902 CAPLUS Full-text

DOCUMENT NUMBER: 144:232902

TITLE: LHMDS mediated tandem acylation-cyclization of 2-aminobenzenecarbonitriles with 2-benzimidazol-2-yl acetates: a short and efficient route to the synthesis of 4-amino-3-benzimidazol-2-ylhydroquinolin-2-ones

AUTHOR(S): Antonios-McCrea, William R.; Frazier, Kelly A.; Jazan, Elisa M.; Machajewski, Timothy D.; McBride, Christopher M.; Pecchi, Sabina; Renhowe, Paul A.; Shafer, Cynthia M.; Taylor, Clarke

CORPORATE SOURCE: Small Molecule Drug Discovery, Medicinal Chemistry Department, Chiron Corporation, Emeryville, CA, 94608, USA

SOURCE: Tetrahedron Letters (2006), 47(5), 657-660

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

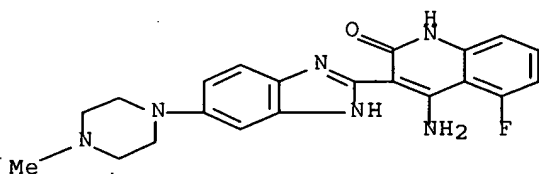
LANGUAGE: English

AB The discovery of a mild, one-pot tandem acylation-cyclization for the synthesis of 4-amino-3-(2-benzimidazolyl)quinolinone derivs. from 2-aminobenzonitrile derivs. and Et (2-benzimidazolyl)acetate derivs. is described. Among the reagents evaluated, lithium hexamethyldisilazide (LHMDS) was the most efficient.

IT 405169-16-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of (amino)(benzimidazolyl)quinolinone derivs. via lithium hexamethyldisilazide-mediated tandem acylation-cyclization reaction using benzimidazole-2-acetic acid ester and (amino)benzonitrile as reactants)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1242789 CAPLUS Full-text

DOCUMENT NUMBER: 143:477969

TITLE: Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma

INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S. Ser. No. 644,055.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

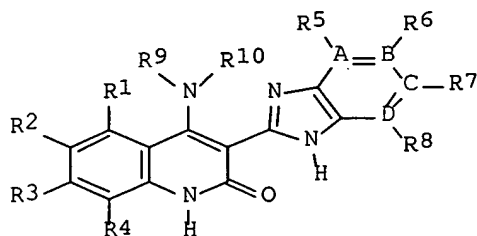
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

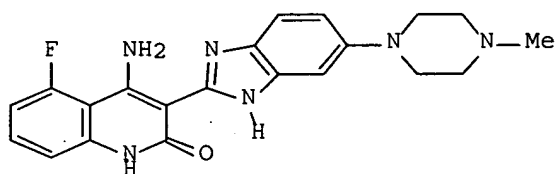
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261307	A1	20051124	US 2004-983174	20041105
US 2004092535	A1	20040513	US 2003-644055	20030819
CN 1692112	A	20051102	CN 2003-824565	20030819
US 2005203101	A1	20050915	US 2004-839793	20040505
PRIORITY APPLN. INFO.:			US 2002-405729P	P 20020823
			US 2002-426107P	P 20021113
			US 2002-426226P	P 20021113
			US 2002-426282P	P 20021113
			US 2002-428210P	P 20021121
			US 2003-460327P	P 20030403
			US 2003-460328P	P 20030403

US 2003-460493P	P	20030403
US 2003-478916P	P	20030616
US 2003-484048P	P	20030701
US 2003-644055	A2	20030819
US 2003-517915P	P	20031107
US 2003-526425P	P	20031202
US 2003-526426P	P	20031202
US 2004-546017P	P	20040219

OTHER SOURCE(S): MARPAT 143:477969
GI



I



II

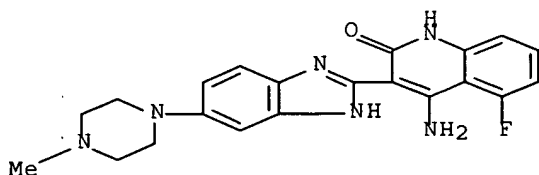
AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 ϵ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC50 values of less than 1 μ M. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

IT 405169-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating

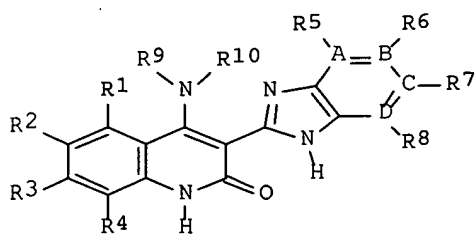
multiple myeloma)
 RN 405169-16-6 CAPLUS
 CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:1223876 CAPLUS Full-text
 DOCUMENT NUMBER: 143:477966
 TITLE: Preparation of benzimidazole quinolinones for
 inhibiting a checkpoint kinase 1 and their use in
 combination therapy for cancer
 INVENTOR(S): Gesner, Thomas G.; Barsanti, Paul A.; Harrison,
 Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou,
 Yasheen; Le, Vincent P.
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S.
 Ser. No. 644,055.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005256157	A1	20051117	US 2005-41191	20050121
US 2004092535	A1	20040513	US 2003-644055	20030819
CN 1692112	A	20051102	CN 2003-824565	20030819
US 2005203101	A1	20050915	US 2004-839793	20040505
PRIORITY APPLN. INFO.:			US 2002-405729P	P 20020823
			US 2002-426107P	P 20021113
			US 2002-426226P	P 20021113
			US 2002-426282P	P 20021113
			US 2002-428210P	P 20021121
			US 2003-460327P	P 20030403
			US 2003-460328P	P 20030403
			US 2003-460493P	P 20030403
			US 2003-478916P	P 20030616
			US 2003-484048P	P 20030701
			US 2003-644055	A2 20030819
			US 2004-538984P	P 20040123

OTHER SOURCE(S): MARPAT 143:477966
 GI



I

AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO2, etc.; R2, R3 = H, halo, NO2, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO2, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC50 of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC50 of less than 10 μ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 α , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC50 values of less than 1 μ M. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

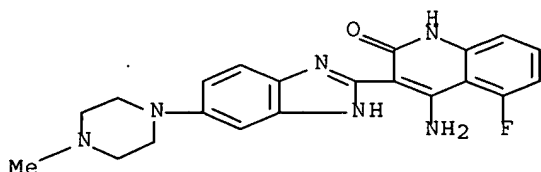
IT 405169-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

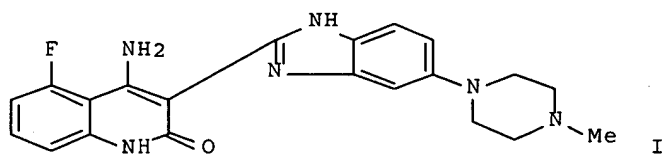
RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:976928 CAPLUS Full-text
 DOCUMENT NUMBER: 143:279443
 TITLE: 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one
 derivatives for the modulation of inflammatory and
 metastatic processes
 INVENTOR(S): Lee, Sang H.; Heise, Carla C.
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 145 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082340	A2	20050909	WO 2005-US5316	20050218
WO 2005082340	A3	20060504		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005216904	A1	20050909	AU 2005-216904	20050218
CA 2556872	AA	20050909	CA 2005-2556872	20050218
US 2005239825	A1	20051027	US 2005-61386	20050218
PRIORITY APPLN. INFO.:			US 2004-546395P	P 20040220
			US 2004-547103P	P 20040223
			US 2004-554771P	P 20040319
			WO 2005-US5316	W 20050218
OTHER SOURCE(S):		MARPAT 143:279443		
GI				



AB The invention provides methods for using of using 4-Amino-3-(benzimidazol- 2-yl)quinolin-2-one derivs. (Markush included), or a salt or tautomer thereof, in the treatment of disorders relating to cell adhesion and metastatic processes. Preparation of I is included.

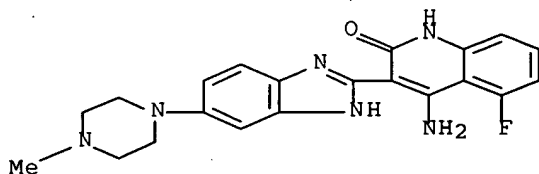
IT 405169-16-6
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(benzimidazolyl aminoquinolinone derivs. for modulation of inflammatory and metastatic processes)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:451351 CAPLUS Full-text

DOCUMENT NUMBER: 143:7710

TITLE: Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma

INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 567 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047244	A2	20050526	WO 2004-US36956	20041105
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004289672	A1	20050526	AU 2004-289672	20041105
CA 2544186	AA	20050526	CA 2004-2544186	20041105
US 2005137399	A1	20050623	US 2004-982757	20041105
US 2005209247	A1	20050922	US 2004-982543	20041105
EP 1692085	A2	20060823	EP 2004-810419	20041105
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			

PRIORITY APPLN. INFO.:

US 2003-517915P P 20031107

US 2003-526425P P 20031202

US 2003-526426P

P 20031202

US 2004-546017P

P 20040219

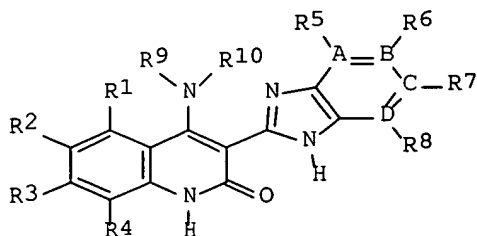
WO 2004-US36956

W 20041105

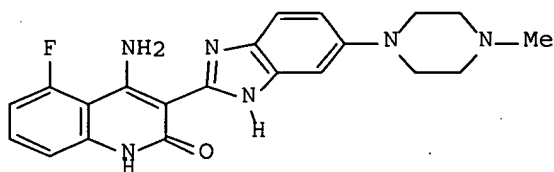
OTHER SOURCE(S):

MARPAT 143:7710

GI



I



II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 ϵ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC50 values of less than 1 μ M. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

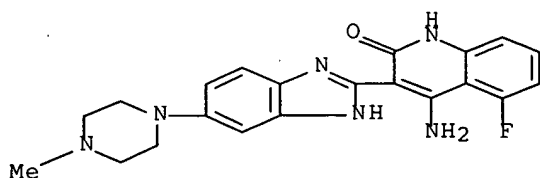
IT 405169-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

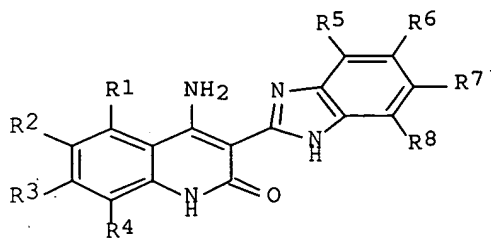
RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

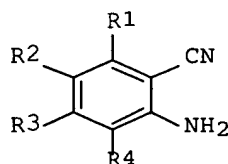


L4 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:451119 CAPLUS Full-text
 DOCUMENT NUMBER: 143:7732
 TITLE: Process for preparation of benzimidazolyquinolones by reaction of aminobenzonitriles with benzimidazolyacetates.
 INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Ryckman, David; Shang, Xiao; Zhu, Shuguang; Machajewski, Timothy D.
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 77 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

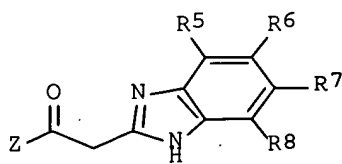
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005046590	A2	20050526	WO 2004-US37051	20041105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2543820	AA	20050526	CA 2004-2543820	20041105
US 2005137399	A1	20050623	US 2004-982757	20041105
US 2005209247	A1	20050922	US 2004-982543	20041105
EP 1682529	A2	20060726	EP 2004-810468	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRIORITY APPLN. INFO.:			US 2003-517915P	P 20031107
			US 2003-526425P	P 20031202
			US 2003-526426P	P 20031202
			US 2004-546017P	P 20040219
			WO 2004-US37051	W 20041105
OTHER SOURCE(S):			CASREACT 143:7732; MARPAT 143:7732	
GI				



I



II



III

AB Title compds. [I; R1-R4 = H, Cl, Br, F, iodo, OR10, NR11R12, (substituted) alkyl, aryl, alkenyl, alkynyl, heterocyclyl, heterocyclylalkyl; R5-R8 = H, F, Cl, Br, iodo, OR13, NR14R15, SR16, (substituted) alkyl, aryl, alkenyl, alkynyl, heterocyclyl, heterocyclylalkyl, alkoxyalkyl, aryloxyalkyl, heterocyclloxyalkyl; R10, R13 = (substituted) alkyl, aryl, heterocyclyl, heterocyclylalkyl, alkoxyalkyl, aryloxyalkyl, heterocyclloxyalkyl; R11-R16 = (substituted) alkyl, aryl, heterocyclyl], were prepared by reaction of aminobenzonitriles (II; R1-R4 as above) with benzimidazolylacetates (III; R5-R8 as above; Z = OR9a, NR9bR9c; R9a-R9c = alkyl) in the presence of the Na or K salt of a base. Thus, Et [6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]acetate (preparation given), 2-amino-6-fluorobenzonitrile, and potassium bis(trimethylsilyl)amide were stirred together in THF at 40-62° for 1 h to give 47.9% 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one.

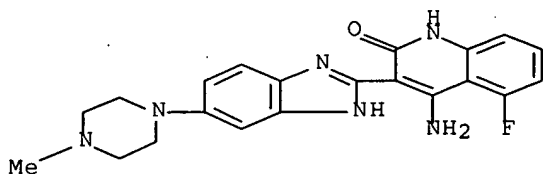
IT 405169-16-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazolylquinolones by reaction of aminobenzonitriles with benzimidazolylacetates)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:451118 CAPLUS Full-text

DOCUMENT NUMBER: 143:7709

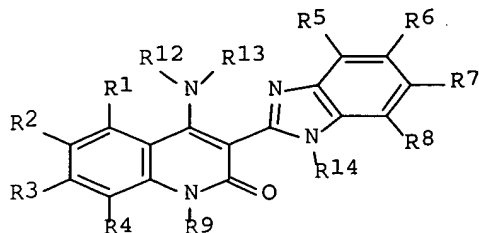
TITLE: Preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial

growth factor receptor tyrosine kinase
 INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Zhu, Shuguang
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 215 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

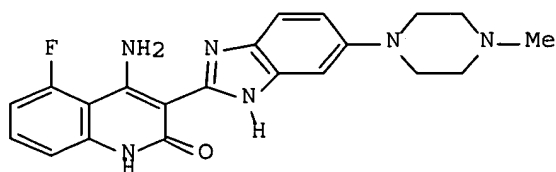
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005046589	A2	20050526	WO 2004-US36941	20041105
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004288692	A1	20050526	AU 2004-288692	20041105
CA 2544492	AA	20050526	CA 2004-2544492	20041105
US 2005137399	A1	20050623	US 2004-982757	20041105
US 2005209247	A1	20050922	US 2004-982543	20041105
EP 1699421	A2	20060913	EP 2004-816941	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				

PRIORITY APPLN. INFO.:
 US 2003-517915P P 20031107
 US 2003-526425P P 20031202
 US 2003-526426P P 20031202
 US 2004-546017P P 20040219
 WO 2004-US36941 W 20041105

OTHER SOURCE(S): CASREACT 143:7709; MARPAT 143:7709
 GI



I



II

AB The title compds. I [R1-R4 = H, halo, CN, NO2, etc.; R5-R8 = H, halo, NO2, etc.; R9 = H; R12 = H, alkyl, aryl, heterocyclyl; R13 = H, alkyl, aryl, heterocyclyl, etc.; R14 = H] and their pharmaceutically acceptable lactate salts, useful for inhibiting vascular endothelial growth factor receptor tyrosine kinase, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II) and its lactate salt, starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The pharmaceutically acceptable salts of I have improved aqueous solubility and desirable drug substance properties. Many of the exemplary compds. I displayed an IC50 of less than 10 µM with respect to Flt-1, KDR, PDGF, c-KIT, FLT-3, VEGFR1, VEGFR2, c-Met, CSF-1, FGFR3 and/or bFGFR. In addition, many of the exemplary compds. exhibited IC50 value of less than 10 µM with respect to PDGFR. The 4-amino substituted compds. I such as II were found to be potent inhibitors of various kinases such as VEGFR2 (KDR, Flk-1), FGFR1 and PDGFRβ with IC50's ranging from 10-27 nM. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

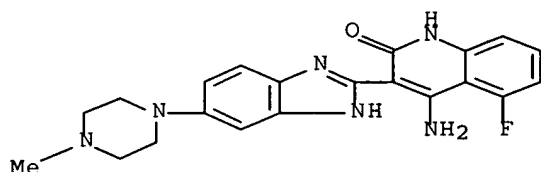
IT 405169-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:428803 CAPLUS Full-text

DOCUMENT NUMBER: 141:1211

TITLE: Methods of treating cancer with a methylpiperazinyl benzimidazolyl quinolinone and related methods

INVENTOR(S): Machajewski, Timothy D.; Hannah, Alison; Harwood, Eric; Haroldsen, Peter; Heise, Carla C.; Samara, Emil; Shang, Xiao; Vora, Jayesh; Zhu, Shuguang

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

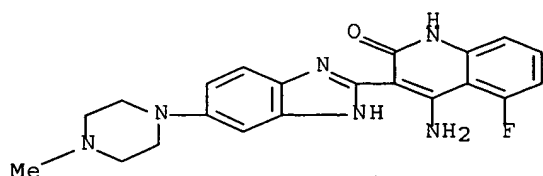
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

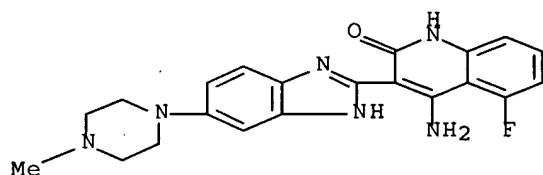
★ INSTANT APPLICATION

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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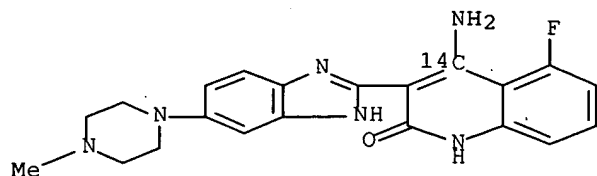
WO 2004043389	A2	20040527	WO 2003-US35806	20031112
WO 2004043389	A3	20040805		
WO 2004043389	B1	20040916		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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AU 2003290699	A1	20040603	AU 2003-290699	20031112
US 2004220196	A1	20041104	US 2003-706328	20031112
EP 1565187	A2	20050824	EP 2003-783281	20031112
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BR 2003016229	A	20051004	BR 2003-16229	20031112
CN 1711088	A	20051221	CN 2003-80103178	20031112
JP 2006511616	T2	20060406	JP 2005-507133	20031112
NO 2005002760	A	20050720	NO 2005-2760	20050607
PRIORITY APPLN. INFO.:			US 2002-426107P	P 20021113
			US 2002-426204P	P 20021113
			US 2002-426282P	P 20021113
			US 2003-460328P	P 20030403
			US 2003-460369P	P 20030403
			US 2003-460493P	P 20030403
			US 2003-517915P	P 20031107
			WO 2003-US35806	W 20031112
AB	Methods of treating cancer using 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one (I) are provided. In particular, the methods are effective for the treatment of solid tumors or leukemias, including prostate, colorectal, breast, multiple myeloma, pancreatic, small cell carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, or myelo-proliferative disease. Further provided are methods of measuring the amount of I and determining a metabolic profile therefore. The growth of both the KM12L4a and MV4;11 xenografts in mice were potently inhibited by I in vivo.			
IT	405169-16-6 RL: ANT (Analyte); BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (cancer treatment with methylpiperazinyl benzimidazolyl quinolinone and related methods)			
RN	405169-16-6 CAPLUS			
CN	2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)			



IT 405169-16-6D, salts, tautomers
 RL: ANT (Analyte); BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses) (cancer treatment with methylpiperazinyl benzimidazolyl quinolinone and related methods)
 RN 405169-16-6 CAPLUS
 CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



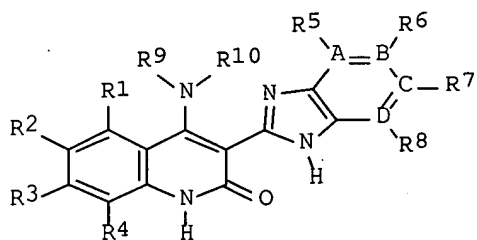
IT 692737-81-8
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (distribution in tissues; cancer treatment with methylpiperazinyl benzimidazolyl quinolinone and related methods)
 RN 692737-81-8 CAPLUS
 CN 2(1H)-Quinolinone-4-14C, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



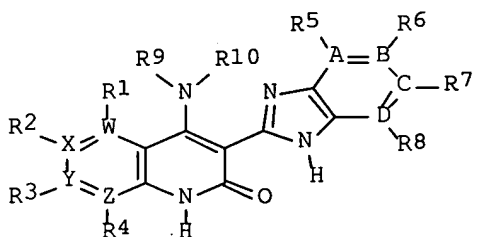
L4 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:182836 CAPLUS Full-text
 DOCUMENT NUMBER: 140:235711
 TITLE: Preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase
 INVENTOR(S): Barsanti, Paul A.; Bussiere, Dirksen; Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; McBride,

PATENT ASSIGNEE(S) : Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.; Wagman, Allan; Weismann, Marion
 SOURCE: Chiron Corporation, USA
 PCT Int. Appl., 570 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018419	A2	20040304	WO 2003-US25990	20030819
WO 2004018419	A3	20040603		
WO 2004018419	B1	20040729		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003288899	A1	20040311	AU 2003-288899	20030819
EP 1539754	A2	20050615	EP 2003-781286	20030819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013743	A	20050705	BR 2003-13743	20030819
CN 1692112	A	20051102	CN 2003-824565	20030819
JP 2006503919	T2	20060202	JP 2005-501762	20030819
PRIORITY APPLN. INFO.:				
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			US 2002-426107P	P 20021113
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			US 2003-460327P	P 20030403
			US 2003-460328P	P 20030403
			US 2003-460493P	P 20030403
			US 2003-478916P	P 20030616
			US 2003-484048P	P 20030701
			WO 2003-US25990	W 20030819
OTHER SOURCE(S) :				
GI				
MARPAT 140:235711				



I



II

AB The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 ϵ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC50 values of less than 1 μ M.

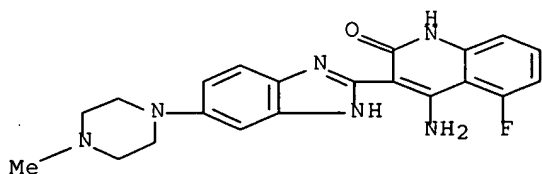
IT 405169-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2003:98039 CAPLUS Full-text

DOCUMENT NUMBER: 138:153534

TITLE: Preparation of benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents

INVENTOR(S): Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy D.; Shafer, Cynthia M.; Taylor, Clarke; McCrea, William R.; McBride, Christopher; Jazan, Elisa

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Pat. Appl. 2002 107,392.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003028018	A1	20030206	US 2002-116117	20020405
US 2002107392	A1	20020808	US 2001-951265	20010911
US 6605617	B2	20030812		
EP 1650203	A1	20060426	EP 2005-17665	20010911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003158224	A1	20030821	US 2002-284017	20021030
US 6774237	B2	20040810		
US 2004006101	A1	20040108	US 2003-387355	20030312
US 6762194	B2	20040713		
CA 2481055	AA	20031023	CA 2003-2481055	20030404
WO 2003087095	A1	20031023	WO 2003-US10463	20030404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003226275	A1	20031027	AU 2003-226275	20030404
EP 1497287	A1	20050119	EP 2003-746614	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008996	A	20050222	BR 2003-8996	20030404
CN 1659165	A	20050824	CN 2003-812909	20030404
JP 2005527587	T2	20050915	JP 2003-584051	20030404
US 2004097545	A1	20040520	US 2003-613411	20030703
US 6800760	B2	20041005		
US 2005054672	A1	20050310	US 2004-886950	20040708
NO 2004004776	A	20041207	NO 2004-4776	20041103
US 2005209456	A1	20050922	US 2005-92137	20050329
PRIORITY APPLN. INFO.:			US 2000-232159P	P 20000911
			US 2001-951265	A2 20010911
			EP 2001-973722	A3 20010911
			US 2002-116117	A 20020405

US 2002-284017	A1 20021030
WO 2003-US10463	W 20030404
US 2004-886950	A1 20040708

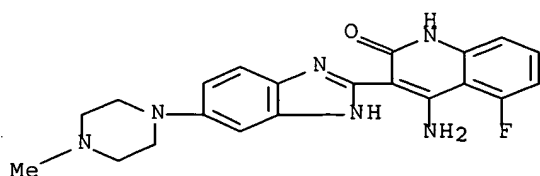
OTHER SOURCE(S): MARPAT 138:153534
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO₂H, certain NH₂ derivs.; R₁-R₄ = H, halo, cyano, NO₂, OH or derivs., NH₂ or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO₂H and esters and amides; R₅-R₈ = H, halo, NO₂, OH or derivs., NH₂ or derivs., SH or derivs., cyano, etc.; R₉ = H, OH, (un)substituted alkoxy or aryloxy, NH₂ or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH₂ or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R₁-R₈ = H, halo, NO₂, cyano, OH or derivs., NH₂ or derivs., acyl, SH or derivs., etc.; R₉ = H, OH, (un)substituted alkoxy, aryloxy, NH₂ or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH₂CH₂Cl in the presence of SnCl₄, gave the invention quinolinone III. Many compds. I and II had in vitro IC₅₀ values of less than 10 μM with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

IT 405169-16-6P, 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405169-16-6 CAPLUS
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:220574 CAPLUS Full-text
 DOCUMENT NUMBER: 136:263158
 TITLE: Benzimidazolyl-substituted quinolinone derivatives and
 analogs, with inhibitory action against vascular
 endothelial growth factor receptor tyrosine kinase,
 and useful as anticancer agents
 INVENTOR(S): Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim;
 Shafer, Cynthia; Taylor, Clarke; McCrea, Bill;
 McBride, Chris; Jazan, Elisa; Wernette-Hammond,
 Mary-Ellen; Harris, Alex
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 207 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022598	A1	20020321	WO 2001-US42131	20010911
WO 2002022598	C1	20021121		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2421120	AA	20020321	CA 2001-2421120	20010911
AU 2001093275	A5	20020326	AU 2001-93275	20010911
EP 1317442	A1	20030611	EP 2001-973722	20010911
EP 1317442	B1	20051116		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001013757	A	20040302	BR 2001-13757	20010911
JP 2004509112	T2	20040325	JP 2002-526851	20010911
NZ 524717	A	20040924	NZ 2001-524717	20010911
AT 309996	E	20051215	AT 2001-973722	20010911
ES 2250480	T3	20060416	ES 2001-1973722	20010911
EP 1650203	A1	20060426	EP 2005-17665	20010911
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
ZA 2003001578	A	20040826	ZA 2003-1578	20030226
NO 2003001097	A	20030325	NO 2003-1097	20030310

US 2004006101	A1	20040108	US 2003-387355	20030312
US 6762194	B2	20040713		
BG 107709	A	20040130	BG 2003-107709	20030408
HK 1053644	A1	20060504	HK 2003-104217	20030612
US 2005054672	A1	20050310	US 2004-886950	20040708
US 2005209456	A1	20050922	US 2005-92137	20050329
AU 2005202068	A1	20050602	AU 2005-202068	20050513
PRIORITY APPLN. INFO.:			US 2000-232159P	P 20000911
			AU 2001-293275	A3 20010911
			EP 2001-973722	A3 20010911
			US 2001-951265	A1 20010911
			WO 2001-US42131	W 20010911
			US 2002-284017	A1 20021030
			US 2004-886950	A1 20040708

OTHER SOURCE(S): MARPAT 136:263158

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

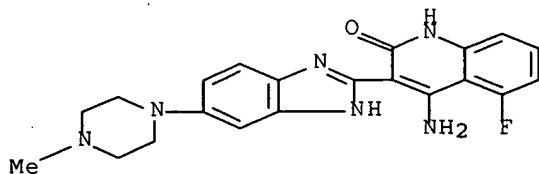
AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO₂H, certain NH₂ derivs.; R₁-R₄ = H, halo, cyano, NO₂, OH or derivs., NH₂ or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO₂H and esters and amides; R₅-R₈ = H, halo, NO₂, OH or derivs., NH₂ or derivs., SH or derivs., cyano, etc.; R₉ = H, OH, (un)substituted alkoxy or aryloxy, NH₂ or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH₂ or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R₁-R₈ = H, halo, NO₂, cyano, OH or derivs., NH₂ or derivs., acyl, SH or derivs., etc.; R₉ = H, OH, (un)substituted alkoxy, aryloxy, NH₂ or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH₂CH₂Cl in the presence of SnCl₄, gave the invention quinolinone III. Many compds. I and II had in vitro IC₅₀ values of less than 10 µM with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

IT 405169-16-6P, 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405169-16-6 CAPLUS
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2006:215594 USPATFULL Full-text

TITLE: Treatment of metastasized tumors

INVENTOR(S): Menezes, Daniel Lopes De, Emeryville, CA, UNITED STATES

Heise, Carla, Benicia, CA, UNITED STATES

Xin, Xiaohua, Palo Alto, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006183750	A1	20060817
APPLICATION INFO.:	US 2006-342257	A1	20060127 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2005-647568P	20050127 (60)
	US 2005-669245P	20050406 (60)
	US 2005-722053P	20050929 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US

NUMBER OF CLAIMS: 22

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 8 Drawing Page(s)

LINE COUNT: 2547

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating metastatic cancer such as metastasized tumors include administering a compound of Structure I, a tautomer of the compound, a pharmaceutically acceptable salt of the compound, a pharmaceutically acceptable salt or the tautomer, or a mixture thereof to a subject. The compound, tautomer, salt of the compound, salt of the tautomer, or mixture thereof may be used to prepare medicaments for treating metastatic cancer. The variable A has the values defined herein. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

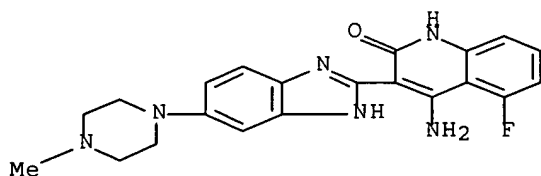
IT 405169-16-6P

(treatment of metastasized tumors)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-

benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:299638 USPATFULL Full-text
TITLE: Inhibition of FGFR3 and treatment of multiple myeloma
INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES
Chou, Joyce, El Cerrito, CA, UNITED STATES
Harwood, Eric, Seattle, WA, UNITED STATES
Heise, Carla C., Benicia, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Ryckman, David, Bellevue, WA, UNITED STATES
Shang, Xiao, Bellevue, WA, UNITED STATES
Wiesmann, Marion, Brisbane, CA, UNITED STATES
Zhu, Shuguang, Shoreline, WA, UNITED STATES
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005261307	A1	20051124
APPLICATION INFO.:	US 2004-983174	A1	20041105 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-517915P	20031107 (60)
	US 2003-526426P	20031202 (60)
	US 2003-526425P	20031202 (60)
	US 2004-546017P	20040219 (60)
	US 2002-405729P	20020823 (60)
	US 2002-426107P	20021113 (60)
	US 2002-426226P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-428210P	20021121 (60)
	US 2003-460328P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460327P	20030403 (60)
	US 2003-478916P	20030616 (60)
	US 2003-484048P	20030701 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US
NUMBER OF CLAIMS: 28
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 34 Drawing Page(s)
LINE COUNT: 17221

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of inhibiting fibroblast growth factor receptor 3 and treating various conditions mediated by fibroblast growth factor receptor 3 are provided that include administering to a subject a compound of Structure I, a pharmaceutically acceptable salt thereof, a tautomer thereof, or a pharmaceutically acceptable salt of the tautomer. Compounds having the Structure I have the following structure where and have the variables described herein. Such compounds may be used to prepare medicaments for use in inhibiting fibroblast growth factor receptor 3 and for use in treating conditions mediated by fibroblast growth factor receptor 3 such as multiple myeloma. ##STR1##

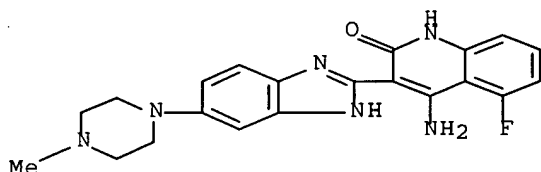
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:293608 USPATFULL Full-text

TITLE: Combination therapy with CHK1 inhibitors

INVENTOR(S): Gesner, Thomas G., Kensington, CA, UNITED STATES
Barsanti, Paul A., Pleasant Hill, CA, UNITED STATES
Harrison, Stephen D., Albany, CA, UNITED STATES
Ni, Zhi-Jie, Fremont, CA, UNITED STATES
Brammeier, Nathan M., Walnut Creek, CA, UNITED STATES
Zhou, Yasheen, Moraga, CA, UNITED STATES
Le, Vincent P., San Francisco, CA, UNITED STATES

PATENT ASSIGNEE(S): CHIRON CORPORATION (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005256157	A1	20051117
APPLICATION INFO.:	US 2005-41191	A1	20050121 (11)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-538984P	20040123 (60)
	US 2002-405729P	20020823 (60)
	US 2002-426282P	20021113 (60)
	US 2002-426107P	20021113 (60)

US 2002-426226P 20021113 (60)
 US 2002-428210P 20021121 (60)
 US 2003-460493P 20030403 (60)
 US 2003-460328P 20030403 (60)
 US 2003-460327P 20030403 (60)
 US 2003-478916P 20030616 (60)
 US 2003-484048P 20030701 (60)

DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
 Box 8097, Emeryville, CA, 94662-8097, US
 NUMBER OF CLAIMS: 32
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 28 Drawing Page(s)
 LINE COUNT: 16679
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of Structure I, and salts, tautomers, stereoisomers, and mixtures thereof may be used in methods of inhibiting checkpoint kinase 1 in subjects, in methods for inducing cell cycle progression, and in methods for increasing apoptosis in cells. Such compounds may be used to prepare pharmaceutical compositions and may be used in conjunction with DNA damaging agents. ##STR1##

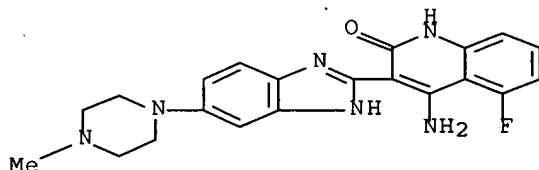
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:275261 USPATFULL Full-text

TITLE: Modulation of inflammatory and metastatic processes

INVENTOR(S): Heise, Carla, Benicia, CA, UNITED STATES

Lee, Sang H., Waltham, MA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005239825	A1	20051027
APPLICATION INFO.:	US 2005-61386	A1	20050218 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-546395P	20040220 (60)

US 2004-547103P 20040223 (60)
US 2004-554771P 20040319 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
Box 8097, Emeryville, CA, 94662-8097, US
NUMBER OF CLAIMS: 39
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 9 Drawing Page(s)
LINE COUNT: 5172
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of using compounds having Structure I or the salts or tautomers of
the compounds in the treatment of disorders relating to cell adhesion and
metastatic processes are presented herein. ##STR1##

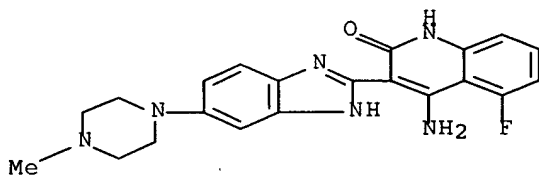
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6

(benzimidazolyl aminoquinolinone derivs. for modulation of inflammatory
and metastatic processes)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-
benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:241451 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Shafer, Cynthia M., Moraga, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005209456	A1	20050922
APPLICATION INFO.:	US 2005-92137	A1	20050329 (11)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2004-886950, filed on 8 Jul 2004, PENDING Continuation of Ser. No. US 2002-284017, filed on 30 Oct 2002, GRANTED, Pat. No. US 6774237 Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
Box 8097, Emeryville, CA, 94662-8097, US
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 5434

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

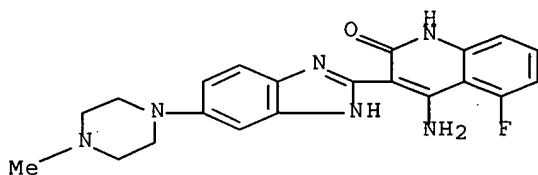
AB A method for synthesizing a 4-amino substituted quinolinone includes reacting a substituted or unsubstituted 2-benzimidazolyl-2-acetate with a substituted or unsubstituted 2-aminobenzonitrile in the presence of a base or an acid. A 4-amino substituted quinolinone compound is formed by the reaction, and the 4-amino substituted quinolinone compound comprises a benzimidazole group.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P, 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:241242 USPATFULL Full-text

TITLE: Pharmaceutically acceptable salts of quinolinone compounds having improved pharmaceutical properties

INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES
Chou, Joyce, El Cerrito, CA, UNITED STATES
Harwood, Eric, Seattle, WA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Ryckman, David, Bellevue, WA, UNITED STATES
Shang, Xiao, Bellevue, WA, UNITED STATES
Zhu, Shuguang, Shoreline, WA, UNITED STATES
Okhamafe, Augustus O., Concord, CA, UNITED STATES
Tesconi, Marc S., Monroe, NY, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005209247	A1	20050922
APPLICATION INFO.:	US 2004-982543	A1	20041105 (10)

NUMBER	DATE
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PRIORITY INFORMATION: US 2003-517915P 20031107 (60)
US 2003-526425P 20031202 (60)
US 2003-526426P 20031202 (60)
US 2004-546017P 20040219 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
Box 8097, Emeryville, CA, 94662-8097, US

NUMBER OF CLAIMS: 45
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 18 Drawing Page(s)
LINE COUNT: 7116
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A lacate salt of a compound of Formula I or a tautomer of the compound,
wherein Formula I has the following structure and R.sup.1-R.sup.9 and
R.sup.12-R.sup.14 are as defined herein ##STR1##

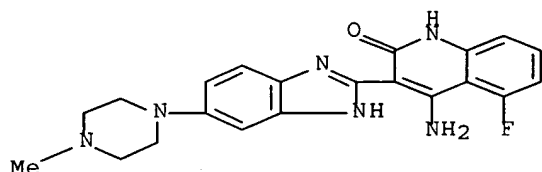
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting a
serine/threonine
kinase)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-
benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:234162 USPATFULL Full-text

TITLE: Benzimidazole quinolinones and uses thereof

INVENTOR(S): Barsanti, Paul A., Pleasant Hill, CA, UNITED STATES
Bussiere, Dirksen, San Leandro, CA, UNITED STATES
Harrison, Stephen D., Albany, CA, UNITED STATES
Heise, Carla C., Benicia, CA, UNITED STATES
Jansen, Johanna M., San Francisco, CA, UNITED STATES
Jazan, Elisa, Berkeley, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
McCrea, William R. JR., Berkeley, CA, UNITED STATES
Ng, Simon, Walnut Creek, CA, UNITED STATES
Ni, Zhi-Jie, Fremont, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Pfister, Keith B., San Ramon, CA, UNITED STATES
Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES
Renhowe, Paul A., Danville, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES

Silver, Joel B., Santa Cruz, CA, UNITED STATES
Wagman, Allan S., Belmont, CA, UNITED STATES
Wiesmann, Marion, Brisbane, CA, UNITED STATES
Wayman, Kelly, San Rafael, CA, UNITED STATES
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005203101	A1	20050915
APPLICATION INFO.:	US 2004-839793	A1	20040505 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-405729P	20020823 (60)
	US 2002-426107P	20021113 (60)
	US 2002-426226P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-428210P	20021121 (60)
	US 2003-460328P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460327P	20030403 (60)
	US 2003-478916P	20030616 (60)
	US 2003-484048P	20030701 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	14 Drawing Page(s)	
LINE COUNT:	14866	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB Methods of treating cancer include contacting a cancer cell with 4-amino-5-fluoro-3-(5-piperazin-1-yl-1H-benzimidazol-2-yl)quinolin-2(1H)-one, 4-amino-5-fluoro-3-[5-(4-methyl-4-oxidopiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one, tautomers thereof, pharmaceutically acceptable salts thereof, pharmaceutically acceptable salts of the tautomers thereof, or a mixture thereof.

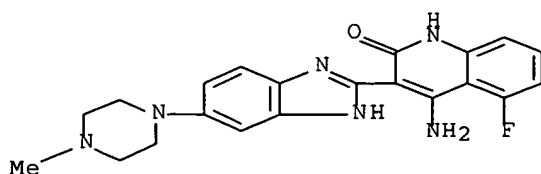
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:159189 USPATFULL Full-text
TITLE: Methods for synthesizing quinolinone compounds
INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES
Chou, Joyce, El Cerrito, CA, UNITED STATES
Harwood, Eric, Seattle, WA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Ryckman, David, Bellevue, WA, UNITED STATES
Shang, Xiao, Bellevue, WA, UNITED STATES
Zhu, Shuguang, Shoreline, WA, UNITED STATES
Okhamafe, Augustus O., Concord, CA, UNITED STATES
Tesconi, Marc S., Monroe, NY, UNITED STATES
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005137399	A1	20050623
APPLICATION INFO.:	US 2004-982757	A1	20041105 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-517915P	20031107 (60)
	US 2003-526425P	20031202 (60)
	US 2003-526426P	20031202 (60)
	US 2004-546017P	20040219 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
Box 8097, Emeryville, CA, 94662-8097, US
NUMBER OF CLAIMS: 71
EXEMPLARY CLAIM: 1
LINE COUNT: 2006

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

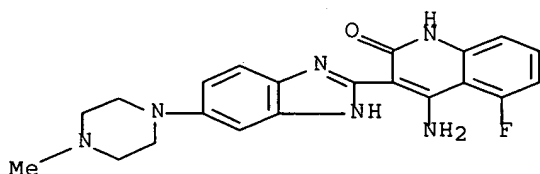
AB A method of synthesizing a substituted or unsubstituted 4-amino-3-benzimidazolyl quinolinone compound includes reacting a first compound having the formula I with a second compound having the formula II in a suitable solvent in the presence of a sodium or potassium salt of a base. The first compound and the second compound have the following structures where the variables have the values described herein: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P
(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2005:63630 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
 Pecchi, Sabina, Oakland, CA, UNITED STATES
 Machajewski, Timothy D., Martinez, CA, UNITED STATES
 Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
 Taylor, Clarke, Albany, CA, UNITED STATES
 McCrea, William R., Berkeley, CA, UNITED STATES
 McBride, Christopher, Oakland, CA, UNITED STATES
 Jazan, Elisa, Richmond, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005054672	A1	20050310
APPLICATION INFO.:	US 2004-886950	A1	20040708 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-284017, filed on 30 Oct 2002, GRANTED, Pat. No. US 6774237 Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Young J. Suh, Chiron Corporation, P.O. Box 8097, Emeryville, CA, 94662	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5757	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formula I are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

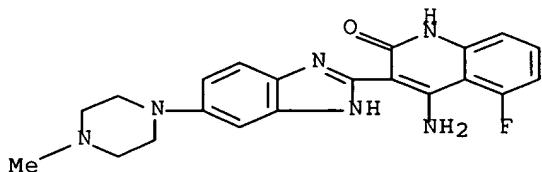
IT 405169-16-6P, 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-

benzimidazol-2-yl]quinolin-2(1H)-one

(drug candidate; preparation of benzimidazolyl-substituted quinolinone
derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer
agents)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-
benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2004:280895 USPATFULL Full-text

TITLE: Methods of treating cancer and related methods

INVENTOR(S): Hannah, Alison, Sebastopol, CA, UNITED STATES
Harwood, Eric, Seattle, WA, UNITED STATES
Haroldsen, Peter, Pacifica, CA, UNITED STATES
Heise, Carla, Benecia, CA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Samara, Emil, Danville, CA, UNITED STATES
Shang, Xiao, Bellevue, WA, UNITED STATES
Vora, Jayesh, Martinez, CA, UNITED STATES
Zhu, Shuguang, Seattle, WA, UNITED STATES
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004220196	A1	20041104
APPLICATION INFO.:	US 2003-706328	A1	20031112 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-460369P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460328P	20030403 (60)
	US 2002-426204P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-426107P	20021113 (60)
	US 2003-517915P	20031107 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
Box 8097, Emeryville, CA, 94662-8097

NUMBER OF CLAIMS: 58

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 2045

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating cancer using 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-
-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one are provided. In particular,

the methods are effective for the treatment of solid tumors or leukemias, including prostate, colorectal, breast, multiple myeloma, pancreatic, small cell carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, or myelo-proliferative disease. Further provided are methods of measuring the amount of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one and determining a metabolic profile therefore.

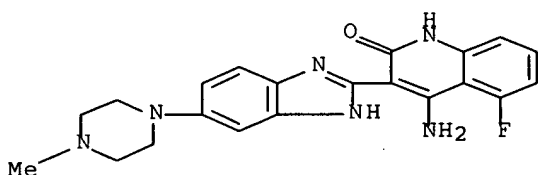
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2004:127561 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004097545	A1	20040520
	US 6800760	B2	20041005
APPLICATION INFO.:	US 2003-613411	A1	20030703 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property, P.O. Box 8097, Emeryville, CA, 94662-8097	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6582	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

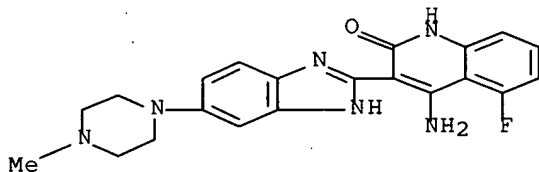
Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P, 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405169-16-6 USPTFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 29 USPTFULL on STN

ACCESSION NUMBER: 2004:121119 USPTFULL Full-text

TITLE: Benzimidazole quinolinones and uses thereof

INVENTOR(S): Barsanti, Paul A., Walnut Creek, CA, UNITED STATES
Bussiere, Dirksen, San Leandro, CA, UNITED STATES
Harrison, Stephen D., Albany, CA, UNITED STATES
Heise, Carla C., Benicia, CA, UNITED STATES
Jansen, Johanna M., San Francisco, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES
Michajewski, Timothy D., Martinez, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
Ng, Simon, Walnut Creek, CA, UNITED STATES
Ni, Zhi-Jie, Fremont, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Pfister, Keith B., San Ramon, CA, UNITED STATES
Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES
Renhowe, Paul A., Danville, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Silver, Joel B., Concord, NH, UNITED STATES
Wagman, Allan S., Belmont, CA, UNITED STATES
Wiesmann, Marion, Brisbane, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004092535	A1	20040513
APPLICATION INFO.:	US 2003-644055	A1	20030819 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-405729P	20020823 (60)
	US 2002-426107P	20021113 (60)
	US 2002-426226P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-428210P	20021121 (60)
	US 2003-460328P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460327P	20030403 (60)
	US 2003-478916P	20030616 (60)
	US 2003-484048P	20030701 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097

NUMBER OF CLAIMS: 68

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 18050

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of inhibiting various enzymes and treating various conditions are provided that include administering to a subject a compound of Structure I or IB, a pharmaceutically acceptable salt thereof, a tautomer thereof, or a pharmaceutically acceptable salt of the tautomer. Compounds having the Structure I and IB have the following structures and have the variables described herein. Such compounds may be used to prepare medicaments for use in inhibiting various enzymes and for use in treating conditions mediated by such enzymes. ##STR1##

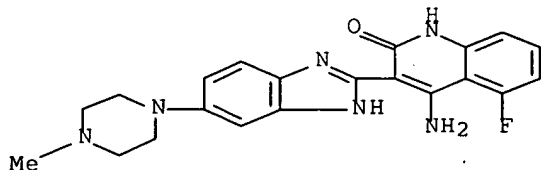
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2004:7861 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Eliza, Richmond, CA, UNITED STATES
PATENT ASSIGNEE(S): CHIRON CORPORATION (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004006101	A1	20040108
	US 6762194	B2	20040713
APPLICATION INFO.:	US 2003-387355	A1	20030312 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-284017, filed on 30 Oct 2002, PENDING Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Steven W. Collier, Chiron Corporation, P.O. Box 8097, Emeryville, CA, 94662	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5740	

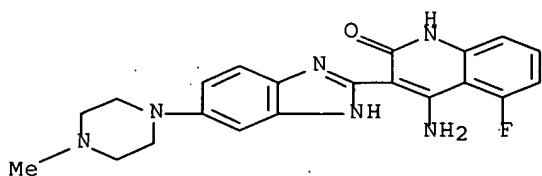
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P, 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405169-16-6 USPATFULL
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2003:226411 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
 Pecchi, Sabina, Oakland, CA, UNITED STATES
 Machajewski, Timothy D., Martinez, CA, UNITED STATES
 Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
 Taylor, Clarke, Ann Arbor, MI, UNITED STATES
 McCrea Jr, William R., Berkeley, CA, UNITED STATES
 McBride, Christopher, Oakland, CA, UNITED STATES
 Jazan, Elisa, Richmond, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003158224	A1	20030821
	US 6774237	B2	20040810
APPLICATION INFO.:	US 2002-284017	A1	20021030 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Steven W. Collier, Chiron Corporation, P.O. Box 8097, Emeryville, CA, 94662	
NUMBER OF CLAIMS:	43	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5881	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

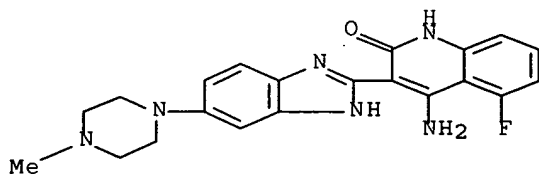
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P, 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one

(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2003:38371 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D, Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Coporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003028018	A1	20030206
APPLICATION INFO.:	US 2002-116117	A1	20020405 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2001-951265, filed on 11 Sep 2001, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property Law Dept., PO Box 8097, Emeryville, CA, 94662	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6573	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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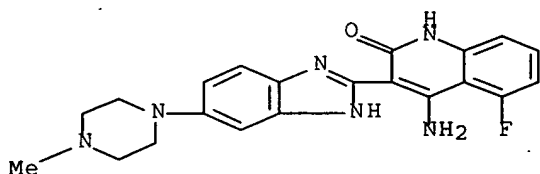
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RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 29 USPATFULL on STN

ACCESSION NUMBER: 2002:199281 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Taylor, Clarke, Ann Arbor, MI, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002107392	A1	20020808
	US 6605617	B2	20030812
APPLICATION INFO.:	US 2001-951265	A1	20010911 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	David Lentini, CHIRON CORPORATION, 4560 Horton Street, Emeryville, CA, 94608-2916	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6588	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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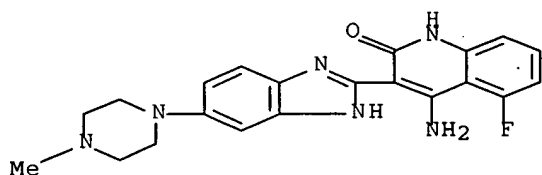
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RN 405169-16-6 USPTAFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



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---Logging off of STN---

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Executing the logoff script...